

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: PATEL SUDHAKAR Examiner #: 77018 Date: 4/26/02  
Art Unit: 1624 Phone Number 3084799 Serial Number: 10077158  
Mail Box and Bldg/Room Location: CM1 4E12 Results Format Preferred (circle): PAPER DISK E-MAIL

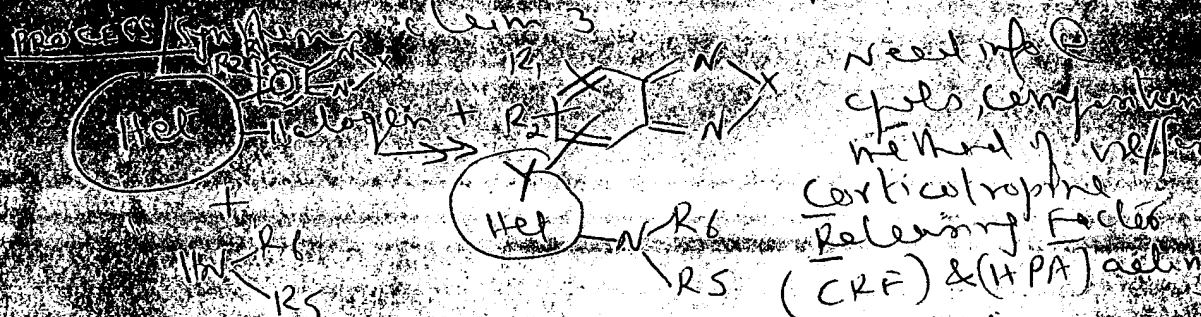
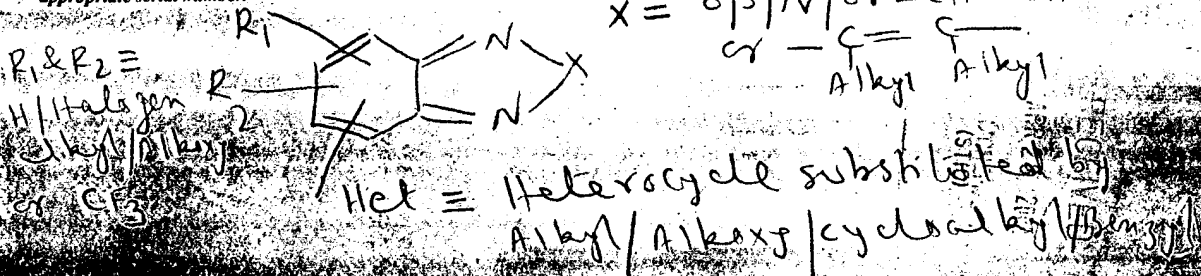
If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: BENZOTHIADIAZOLES & DERIVATIVES  
Inventors (please provide full names): BERNHARD PETER NEUMANN

Earliest Priority Filing Date: 2/3/1998

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



Point of Contact:  
Barb O'Brien  
Technical Information Specialist  
STIC CM1 6A05 308-4291

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>62015</u>	NA Sequence (#) _____	STN <u>054</u>
Searcher Phone # _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) <u>5</u>	Questel/Orbit _____
Date Searcher Picked Up: <u>5-6-02</u>	Bibliographic _____	Dr. Link _____
Date Completed: <u>5-7-02</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: <u>40</u>	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: <u>33</u>	Other _____	Other (specify) _____

=> fil reg; d stat que l23; fil capl; d que nos l24; fil uspatf;d que nos l25  
FILE 'REGISTRY' ENTERED AT 12:18:02 ON 07 MAY 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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STRUCTURE FILE UPDATES: 5 MAY 2002 HIGHEST RN 411206-65-0  
DICTIONARY FILE UPDATES: 5 MAY 2002 HIGHEST RN 411206-65-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

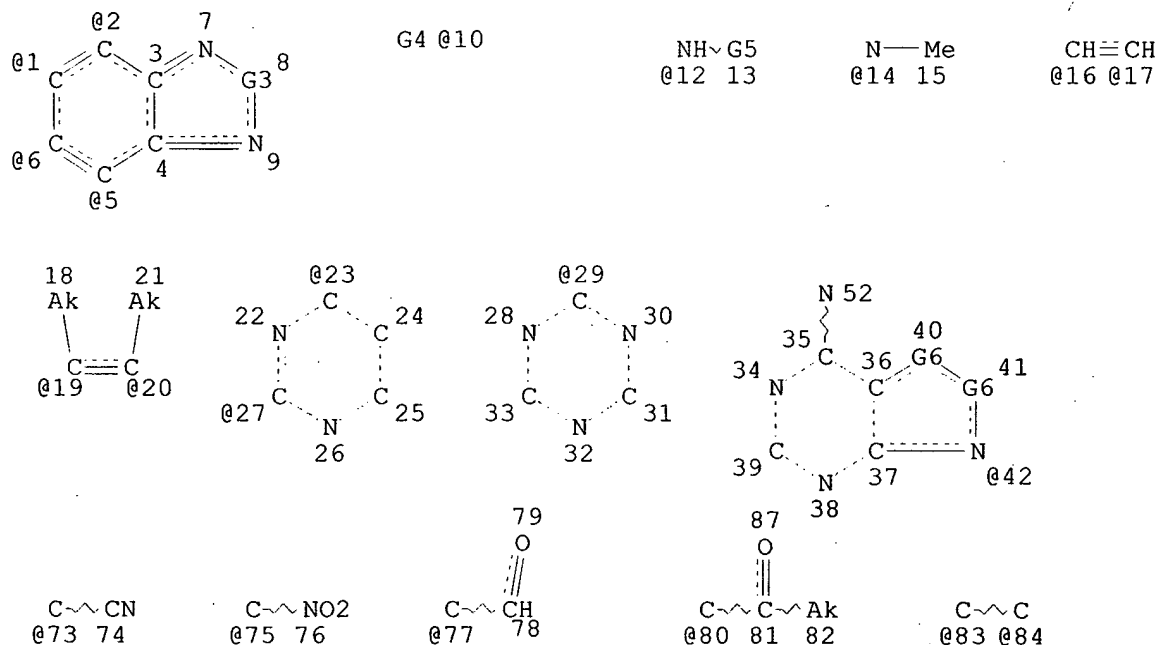
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

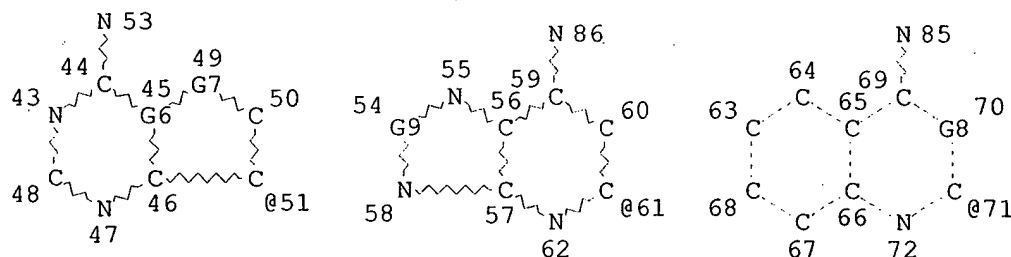
Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

L7

STR



Page 1-A



Page 2-A

VAR G3=O/S/14/16-7 17-9/19-7 20-9  
VAR G4=12/42/51/61/71

VAR G5=29/23/27  
VAR G6=N/C  
VAR G7=S/N  
VAR G8=N/73/75/77/80  
VAR G9=C/N/O/S/83-58 84-55  
VPA 10-1/2/5/6 U  
NODE ATTRIBUTES:  
CONNECT IS E1 RC AT 18  
CONNECT IS E1 RC AT 21  
CONNECT IS E1 RC AT 82  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 86

STEREO ATTRIBUTES: NONE

L21 213740 SEA FILE=REGISTRY ABB=ON (C2N2O-C6/EA OR C2N2S-C6/EA OR  
C2N3-C6/EA OR C4N2-C6/EA) AND NR>2  
L23 69 SEA FILE=REGISTRY SUB=L21 SSS FUL L7

100.0% PROCESSED 49344 ITERATIONS  
SEARCH TIME: 00.00.04

69 ANSWERS

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FILE COVERS 1907 - 7 May 2002 VOL 136 ISS 19  
FILE LAST UPDATED: 5 May 2002 (20020505/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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L24 8 SEA FILE=CAPLUS ABB=ON L23

FILE 'USPATFULL' ENTERED AT 12:18:03 ON 07 MAY 2002  
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 2 May 2002 (20020502/PD)  
FILE LAST UPDATED: 2 May 2002 (20020502/ED)  
HIGHEST GRANTED PATENT NUMBER: US6381748  
HIGHEST APPLICATION PUBLICATION NUMBER: US2002053100  
CA INDEXING IS CURRENT THROUGH 2 May 2002 (20020502/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 2 May 2002 (20020502/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2002  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2002

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>>> USPAT2 is now available.  USPATFULL contains full text of the  <<<
>>> original, i.e., the earliest published granted patents or  <<<
>>> applications.  USPAT2 contains full text of the latest US  <<<
>>> publications, starting in 2001, for the inventions covered in  <<<
>>> USPATFULL.  A USPATFULL record contains not only the original  <<<
>>> published document but also a list of any subsequent  <<<
>>> publications.  The publication number, patent kind code, and  <<<
>>> publication date for all the US publications for an invention  <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL  <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.  <<<
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>>> USPATFULL and USPAT2 can be accessed and searched together  <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to  <<<
>>> enter this cluster.  <<<
>>>  <<<
>>> Use USPATALL when searching terms such as patent assignees,  <<<
>>> classifications, or claims, that may potentially change from  <<<
>>> the earliest to the latest publication.  <<<
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This file contains CAS Registry Numbers for easy and accurate  
substance identification.

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FILE 'USPATFULL' ENTERED AT 12:18:06 ON 07 MAY 2002  
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)  
PROCESSING COMPLETED FOR L24  
PROCESSING COMPLETED FOR L25  
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 ANSWERS '9-11' FROM FILE USPATFULL

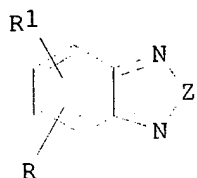
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L27 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:511157 CAPLUS  
DOCUMENT NUMBER: 131:144607

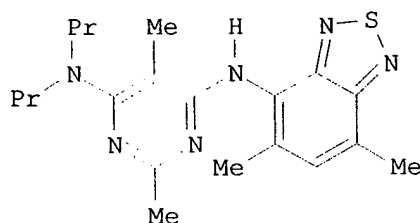
TITLE: Preparation of benzothiadiazoles and analogs as CRF1  
receptor antagonists  
INVENTOR(S): Neumann, Bernhard Peter  
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis-Erfindungen  
Verwaltungsgesellschaft MbH  
SOURCE: PCT Int. Appl., 23 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

*Amals*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940089	A1	19990812	WO 1999-EP622	19990201
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 9932521	A1	19990823	AU 1999-32521	19990201
AU 745051	B2	20020307		
EP 1049694	A1	20001108	EP 1999-934200	19990201
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BR 9909739	A	20010320	BR 1999-9739	19990201
JP 2002502853	T2	20020129	JP 2000-530518	19990201
ZA 9900800	A	19990803	ZA 1999-800	19990202
NO 2000003916	A	20000928	NO 2000-3916	20000802
PRIORITY APPLN. INFO.:			GB 1998-2251	A 19980203
			WO 1999-EP622	W 19990201
OTHER SOURCE(S):		MARPAT 131:144607		
GI				



I



II

AB Title compds. [I; (aminopyrimidinyl)amino, 4-amino-7H-pyrrolo[2,3-d]pyrimidin-7-yl, etc.; R1 = H or 1 or 2 of halo, alkyl, alkoxy, CF3; Z = O, S, NMe, CR2:CR2; R2 = both H or both alkyl] were prepd. Thus, 4,6-dimethyl-2,1,3-benzothiadiazole was converted in 2 steps to 4-amino-5,7-dimethyl-2,1,3-benzothiadiazole which was N-arylated by 4,6-dichloro-2,5-dimethylpyrimidine to give, after amination, title compd. II. Data for biol. activity of I were given.

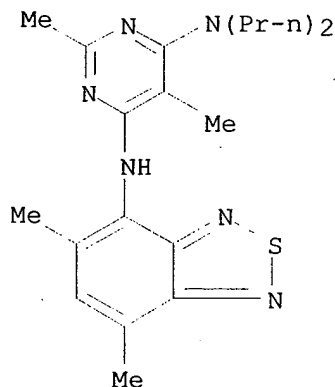
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235760-22-2P 235760-24-4P 235760-25-5P  
235760-35-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of benzothiadiazoles and analogs as CRF1 receptor antagonists)

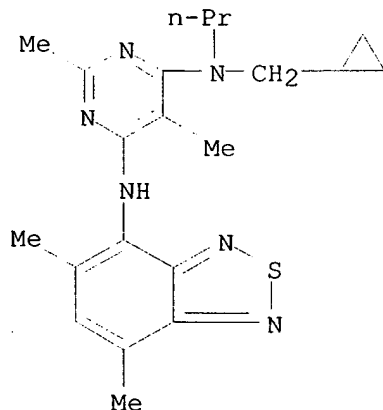
RN 235759-69-0 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 235759-70-3 CAPLUS

CN 4,6-Pyrimidinediamine, N-(cyclopropylmethyl)-N'-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



RN 235759-72-5 CAPLUS

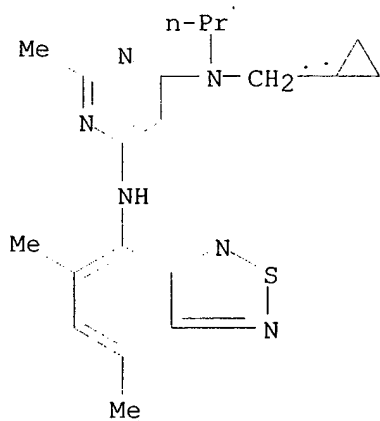
CN 4,6-Pyrimidinediamine, N-(cyclopropylmethyl)-N'-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-2-methyl-N-propyl-, (2E)-2-butenedioate (9CI) (CA

INDEX NAME)

CM 1

CRN 235759-71-4

CMF C20 H26 N6 S



CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

E CO<sub>2</sub>HHO<sub>2</sub>C

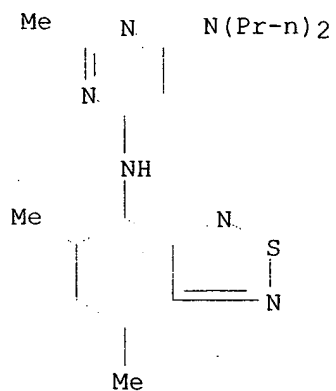
RN 235759-74-7 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-2-methyl-N,N-dipropyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

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CRN 235759-73-6

CMF C19 H26 N6 S



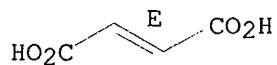
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CRN 110-17-8

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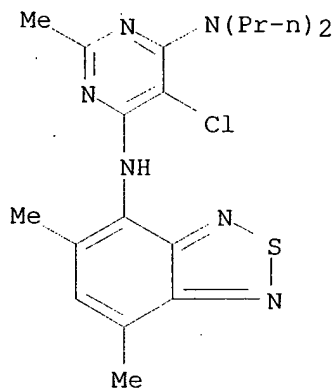
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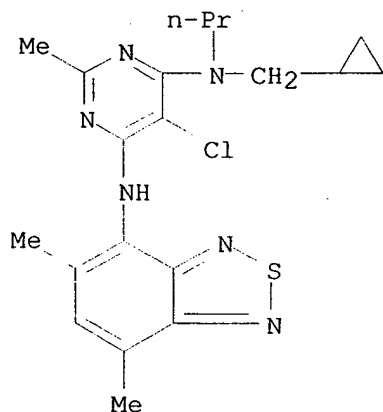
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RN 235759-76-9 CAPLUS

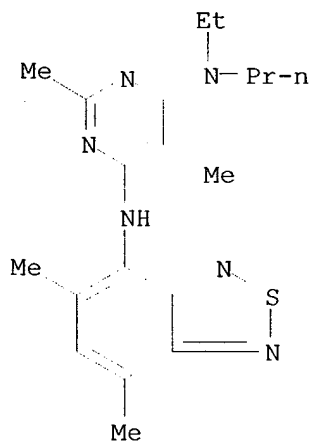
CN 4,6-Pyrimidinediamine, 5-chloro-N-(cyclopropylmethyl)-N'-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-2-methyl-N-propyl- (9CI) (CA INDEX NAME)



RN 235759-77-0 CAPLUS

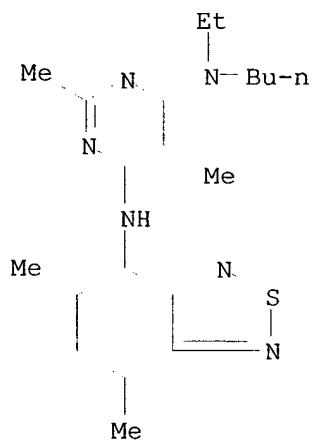
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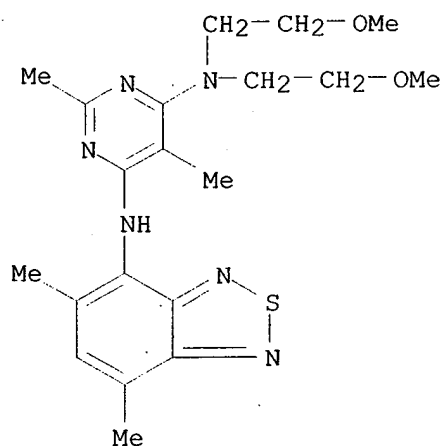
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CN 4,6-Pyrimidinediamine, N-butyl-N'-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)



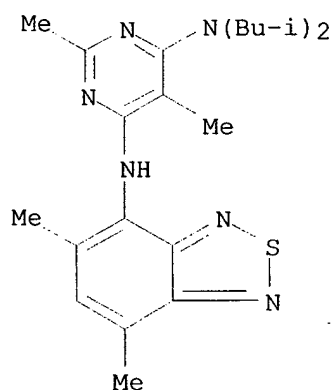
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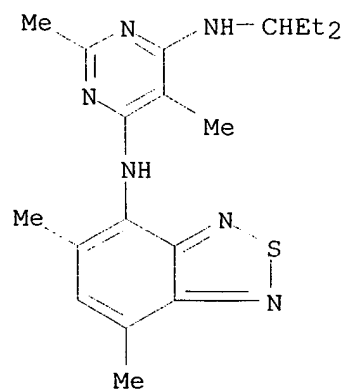
RN 235759-80-5 CAPLUS

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RN 235759-81-6 CAPLUS

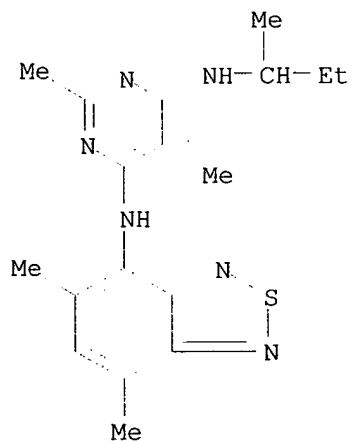
CN 4,6-Pyrimidinediamine, N-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-N'-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 235759-83-8 CAPLUS

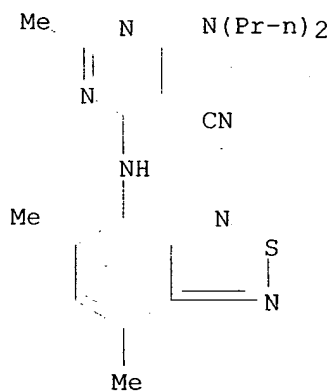
CN 4,6-Pyrimidinediamine, N-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-2,5-

dimethyl-N'-(1-methylpropyl)- (9CI) (CA INDEX NAME)



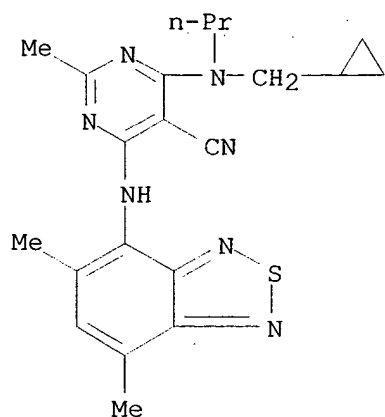
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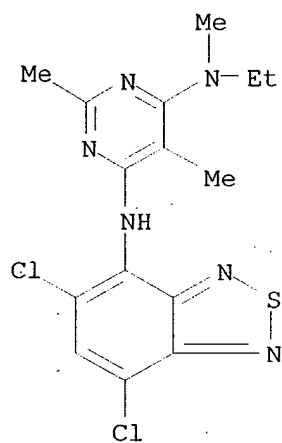
RN 235759-85-0 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(cyclopropylmethyl)propylamino]-6-[(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)amino]-2-methyl- (9CI) (CA INDEX NAME)



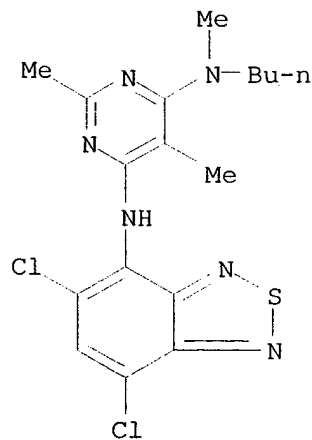
RN 235759-86-1 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-N-ethyl-N,2,5-trimethyl- (9CI) (CA INDEX NAME)



RN 235759-87-2 CAPLUS

CN 4,6-Pyrimidinediamine, N-butyl-N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

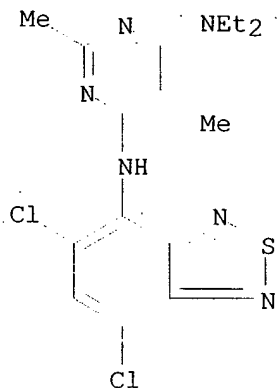


RN 235759-89-4 CAPLUS  
CN 4,6-Pyrimidinediamine, N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-N,N-diethyl-2,5-dimethyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

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CRN 235759-88-3

CMF C16 H18 Cl2 N6 S



CM 2

CRN 110-17-8

CMF C4 H4 O4

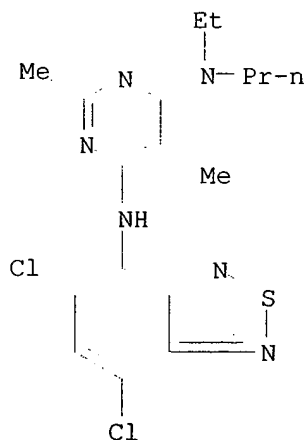
CDES 2:E

Double bond geometry as shown.

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HO2C

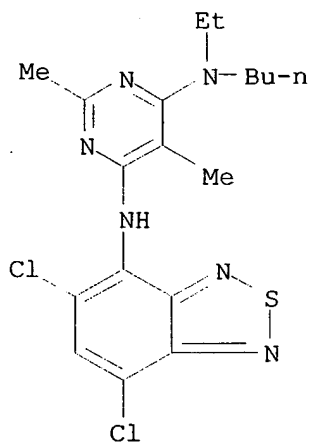
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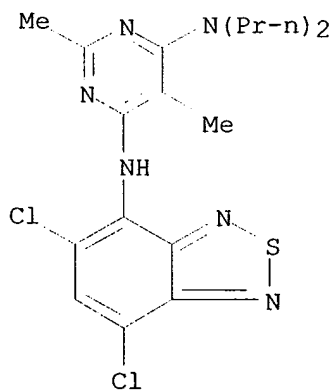
RN 235759-91-8 CAPLUS

CN 4,6-Pyrimidinediamine, N-butyl-N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)



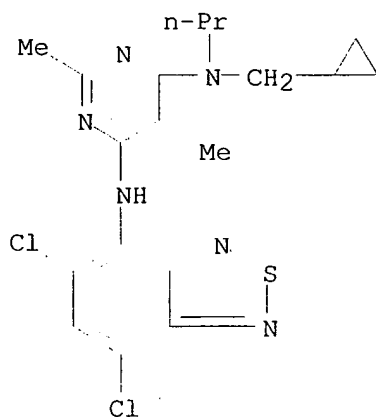
RN 235759-92-9 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



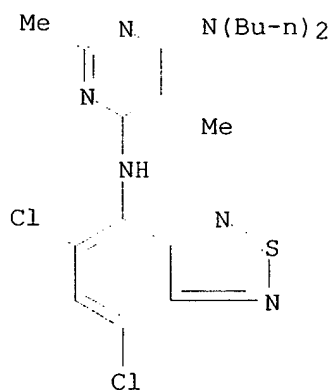
RN 235759-93-0 CAPLUS

CN 4,6-Pyrimidinediamine, N-(cyclopropylmethyl)-N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



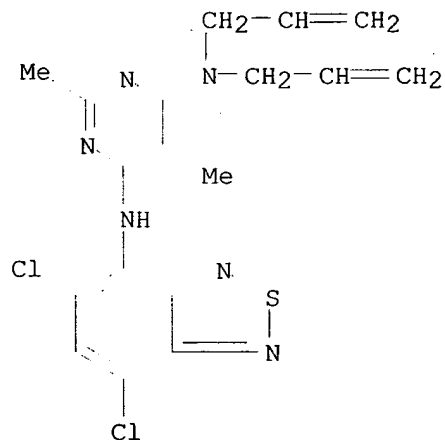
RN 235759-94-1 CAPLUS

CN 4,6-Pyrimidinediamine, N,N-dibutyl-N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



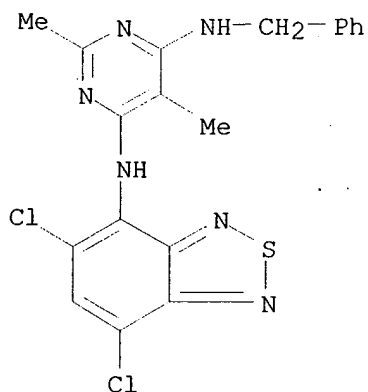
RN 235759-95-2 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N,N-di-2-propenyl- (9CI) (CA INDEX NAME)



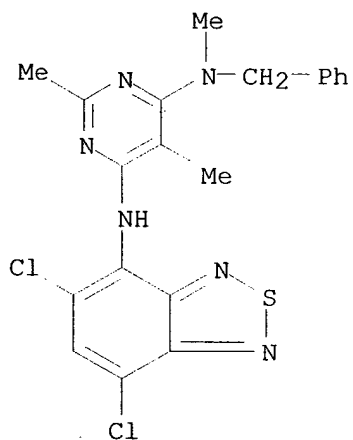
RN 235759-96-3 CAPLUS

CN 4,6-Pyrimidinediamine, N-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



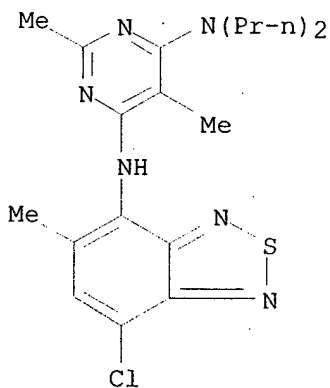
RN 235759-97-4 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dichloro-2,1,3-benzothiadiazol-4-yl)-N,2,5-trimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 235759-98-5 CAPLUS

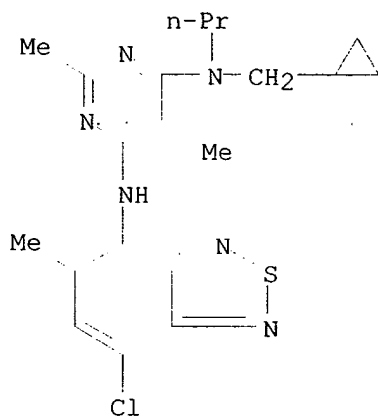
CN 4,6-Pyrimidinediamine, N'-(7-chloro-5-methyl-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)





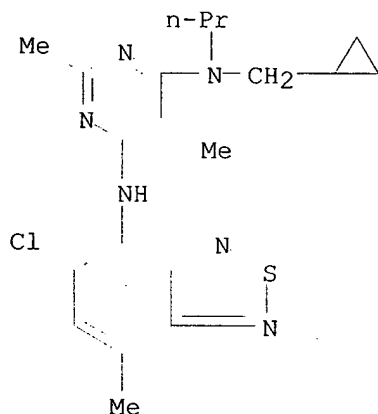
RN 235759-99-6 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(7-chloro-5-methyl-2,1,3-benzothiadiazol-4-yl)-N-(cyclopropylmethyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



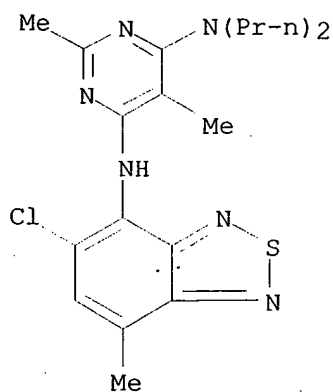
RN 235760-00-6 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5-chloro-7-methyl-2,1,3-benzothiadiazol-4-yl)-N-(cyclopropylmethyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



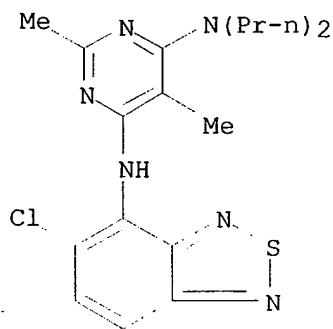
RN 235760-02-8 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5-chloro-7-methyl-2,1,3-benzothiadiazol-4-yl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



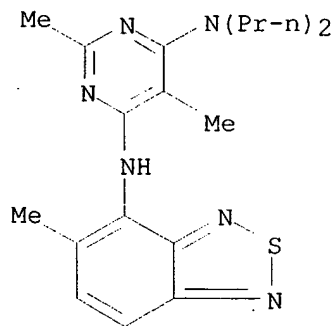
RN 235760-03-9 CAPLUS

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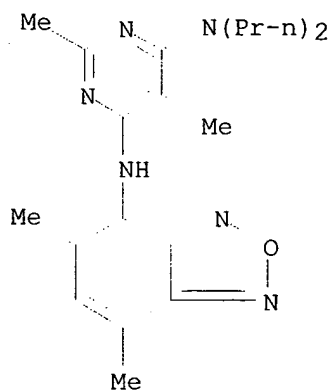
RN 235760-04-0 CAPLUS

CN 4,6-Pyrimidinediamine, 2,5-dimethyl-N'-(5-methyl-2,1,3-benzothiadiazol-4-yl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



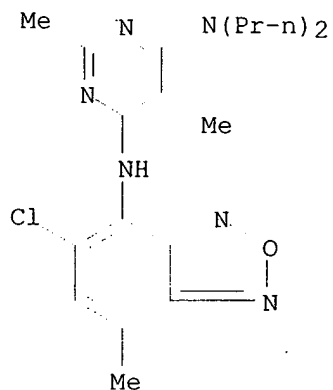
RN 235760-05-1 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dimethyl-2,1,3-benzoxadiazol-4-yl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



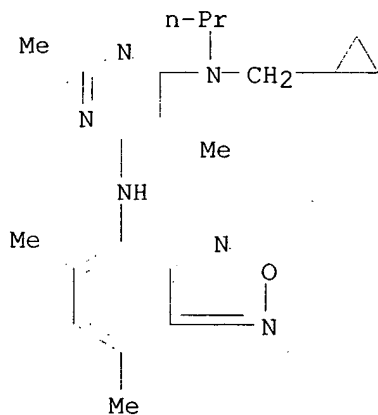
RN 235760-06-2 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5-chloro-7-methyl-2,1,3-benzoxadiazol-4-yl)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



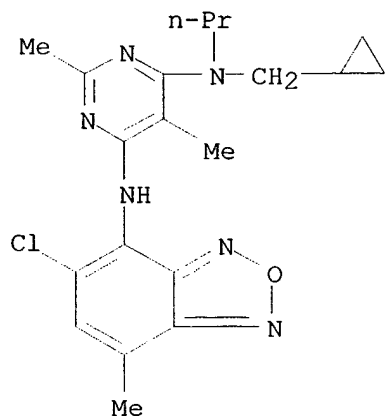
RN 235760-07-3 CAPLUS

CN 4,6-Pyrimidinediamine, N-(cyclopropylmethyl)-N'-(5,7-dimethyl-2,1,3-benzoxadiazol-4-yl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



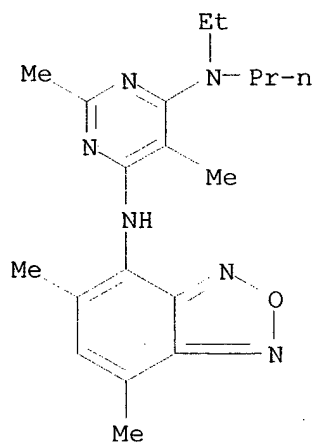
RN 235760-08-4 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5-chloro-7-methyl-2,1,3-benzoxadiazol-4-yl)-N-(cyclopropylmethyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



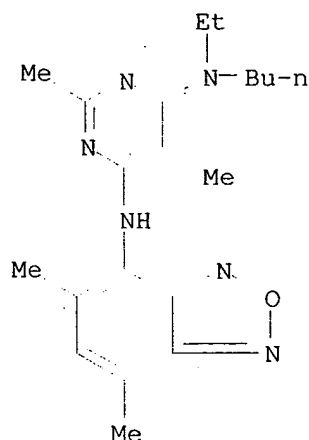
RN 235760-09-5 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5,7-dimethyl-2,1,3-benzoxadiazol-4-yl)-N-ethyl-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



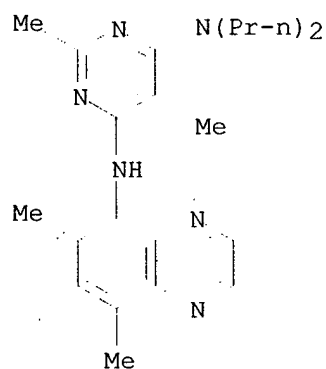
RN 235760-10-8 CAPLUS

CN 4,6-Pyrimidinediamine, N-butyl-N'-(5,7-dimethyl-2,1,3-benzoxadiazol-4-yl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)



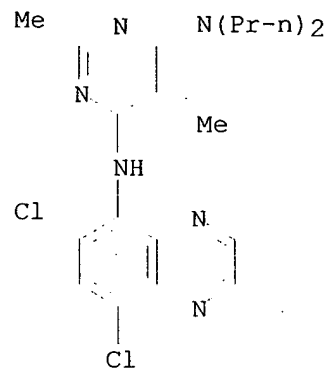
RN 235760-11-9 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(6,8-dimethyl-5-quinoxaliny)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



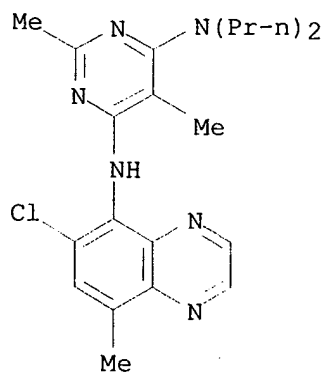
RN 235760-12-0 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(6,8-dichloro-5-quinoxaliny)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



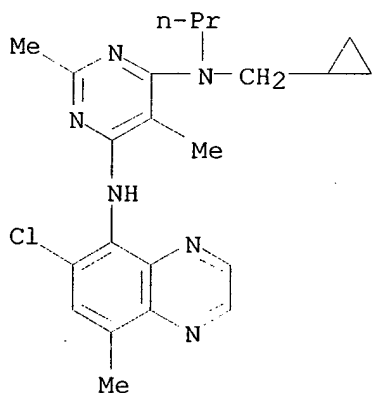
RN 235760-13-1 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(6-chloro-8-methyl-5-quinoxaliny)-2,5-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



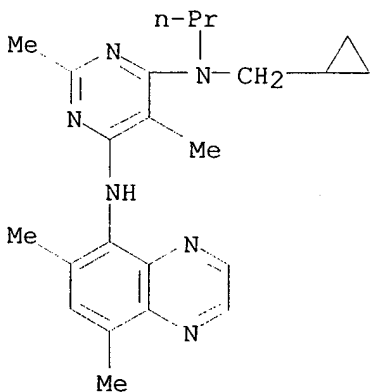
RN 235760-14-2 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(6-chloro-8-methyl-5-quinoxaliny)-N-(cyclopropylmethyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

*Elected Species*

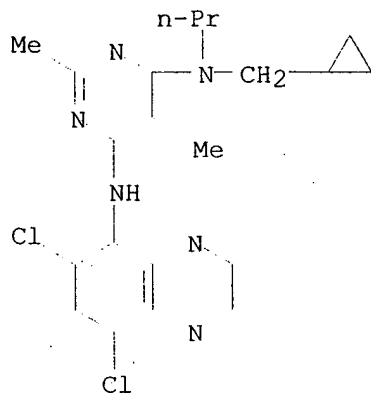
RN 235760-15-3 CAPLUS

CN 4,6-Pyrimidinediamine, N-(cyclopropylmethyl)-N'-(6,8-dimethyl-5-quinoxaliny)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



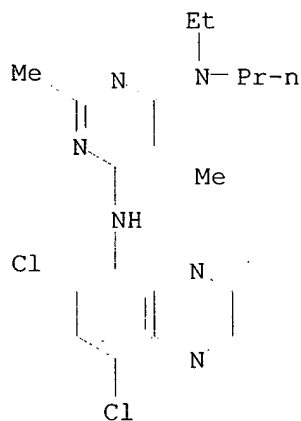
RN 235760-16-4 CAPLUS

CN 4,6-Pyrimidinediamine, N-(cyclopropylmethyl)-N'-(6,8-dichloro-5-quinoxaliny)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



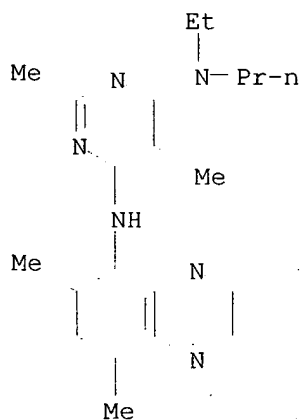
RN 235760-17-5 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(6,8-dichloro-5-quinoxaliny)-N-ethyl-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



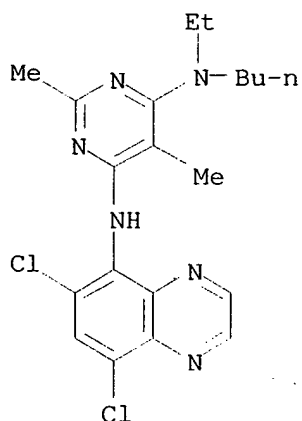
RN 235760-18-6 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(6,8-dimethyl-5-quinoxaliny)-N-ethyl-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



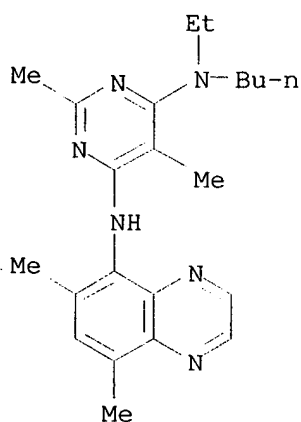
RN 235760-19-7 CAPLUS

CN 4,6-Pyrimidinediamine, N-butyl-N'-(6,8-dichloro-5-quinoxaliny)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)



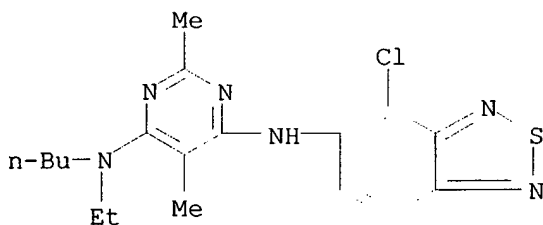
RN 235760-20-0 CAPLUS

CN 4,6-Pyrimidinediamine, N-butyl-N'-(6,8-dimethyl-5-quinoxaliny)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 235760-21-1 CAPLUS

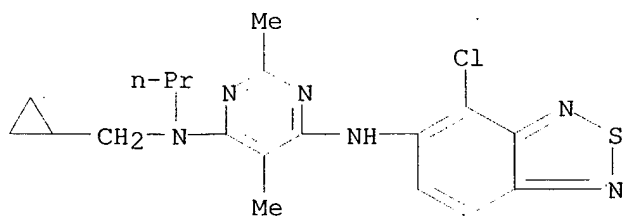
CN 4,6-Pyrimidinediamine, N-butyl-N'-(4-chloro-2,1,3-benzothiadiazol-5-yl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 235760-22-2 CAPLUS

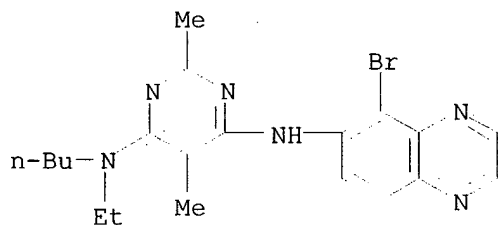
CN 4,6-Pyrimidinediamine, N'-(4-chloro-2,1,3-benzothiadiazol-5-yl)-N-(cyclopropylmethyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)





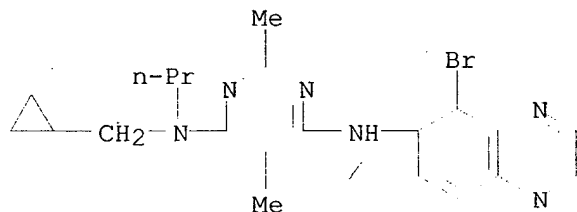
RN 235760-24-4 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5-bromo-6-quinoxalinyloxy)-N-butyl-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 235760-25-5 CAPLUS

CN 4,6-Pyrimidinediamine, N'-(5-bromo-6-quinoxalinyloxy)-N-(cyclopropylmethyl)-2,5-dimethyl-N-propyl- (9CI) (CA INDEX NAME)



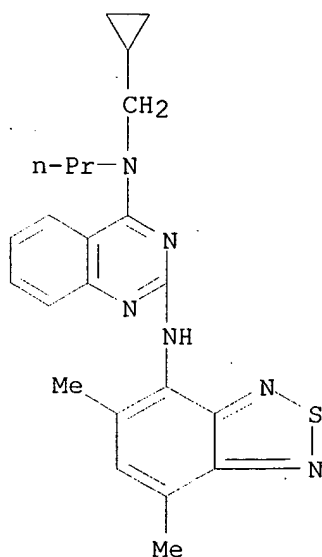
RN 235760-35-7 CAPLUS

CN 2,4-Quinazolininediamine, N2-(cyclopropylmethyl)-N4-(5,7-dimethyl-2,1,3-benzothiadiazol-4-yl)-N4-propyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 235760-34-6

CMF C23 H26 N6 S



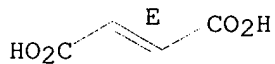
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

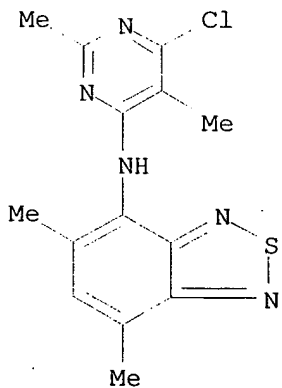


IT 235760-38-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of benzothiadiazoles and analogs as CRF1 receptor antagonists)

RN 235760-38-0 CAPLUS

CN 2,1,3-Benzothiadiazol-4-amine, N-(6-chloro-2,5-dimethyl-4-pyrimidinyl)-5,7-  
dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Searched by Barb O'Bryen, STIC 308-4291

L27 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:113672 CAPLUS  
DOCUMENT NUMBER: 130:182476  
TITLE: Preparation of heterocyclic compounds as irreversible  
bicyclic inhibitors of tyrosine kinases  
INVENTOR(S): Bridges, Alexander James  
PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
SOURCE: PCT Int. Appl., 131 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906396	A1	19990211	WO 1998-US15592	19980729
W:	AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9886659	A1	19990222	AU 1998-86659	19980729
US 6153617	A	20001128	US 1999-269647	19990325
PRIORITY APPLN. INFO.:			US 1997-54061P P	19970729
			WO 1998-US15592 W	19980729
OTHER SOURCE(S):	MARPAT 130:182476			
GI				



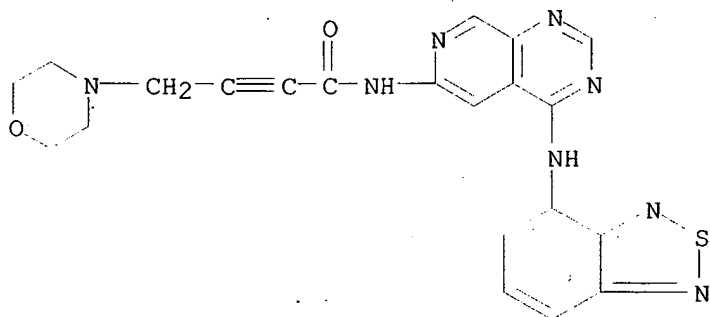
AB The title compds., e.g. I [X = DEF, Y = SR4, etc. ; or X = SR4, etc., and Y = DEF; D = O, etc.; E = CO, etc.; F = CR1(:C):C(R5)H, etc.; a proviso is given; R1 = H, halo, etc.; R5 = H, halo, perfluoroalkyl, etc.; Z = indoline moiety (generic structure given), etc.; R4 = H, alkyl, etc.], are prepd. This invention also provides a method of treating cancer, restenosis, atherosclerosis, endometriosis, and psoriasis and a pharmaceutical compn. that comprises a compd. that is an irreversible inhibitor of tyrosine kinases. N-[4-(6-bromo-2,3-dihydroindol-1-yl)quinazolin-6-yl]acrylamide in vitro showed IC50 of 0.4 nM against epidermal growth factor receptor tyrosine kinase.

IT 220577-61-7P 220577-63-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of heterocyclic compds. as irreversible bicyclic inhibitors of tyrosine kinases)

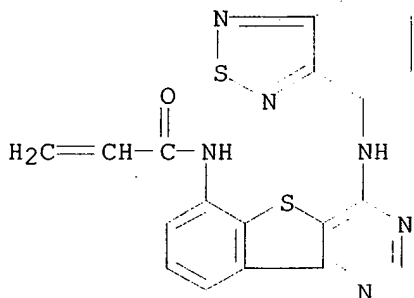
RN 220577-61-7 CAPLUS

CN 2-Butynamide, N-[4-(2,1,3-benzothiadiazol-4-ylamino)pyrido[3,4-d]pyrimidin-6-yl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 220577-63-9 CAPLUS

CN 2-Propenamide, N-[4-(2,1,3-benzothiadiazol-4-ylamino)[1]benzothieno[3,2-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:385531 CAPLUS

DOCUMENT NUMBER: 133:84237

TITLE: 4-[(benzo-2,1,3-thiadiazolyl-4)amino]-5,6,7,8-tetrahydrobenzothieno-(2,3-d)-pyrimidine showing anthelmintic activity in larval alveolar echinococcosis

INVENTOR(S): Mikhailitsyn, F. S.; Kovalenko, F. P.; Kozyreva, N. P.; Dzhabarova, V. I.; Lebedeva, M. N.; Mynzhanov, M. R.; Lychko, N. D.; Bulanova, T. E.

PATENT ASSIGNEE(S): Institut Meditsinskoi Parazitologii i Tropicheskoi Meditsiny im. E. I. Martsinovskogo, Russia

SOURCE: Russ. From: Izobreteniya 1998, (21), 258.  
CODEN: RUXXE7

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2116309	C1	19980727	RU 1997-102130	19970213

AB Title only translated.  
IT 188550-08-5

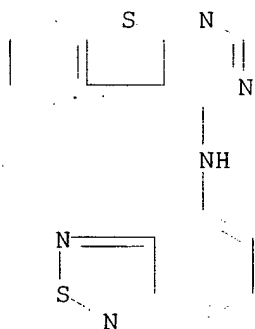
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(4-[(benzo-2,1,3-thiadiazolyl-4)amino]-5,6,7,8-tetrahydrobenzothieno-

(2,3-d)-pyrimidine showing anthelmintic activity in larval alveolar echinococcosis)

RN 188550-08-5 CAPLUS

CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-2,1,3-benzothiadiazol-4-yl-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



L27 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:618102 CAPLUS

DOCUMENT NUMBER: 127:278208

TITLE: Preparation of pyrimido[5,4-d]pyrimidines as tyrosine kinase signal transduction inhibitors

INVENTOR(S): Himmelsbach, Frank; Dahmann, Georg; Von Ruden, Thomas; Metz, Thomas

PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H., Germany

SOURCE: PCT Int. Appl., 151 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

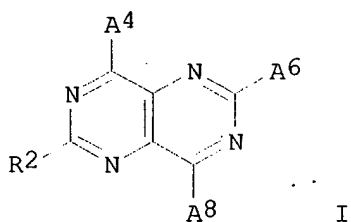
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732882	A1	19970912	WO 1997-EP1058	19970303
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
DE 19608653	A1	19970911	DE 1996-19608653	19960306
CA 2248316	AA	19970912	CA 1997-2248316	19970303
AU 9719252	A1	19970922	AU 1997-19252	19970303
AU 712072	B2	19991028		
EP 885227	A1	19981223	EP 1997-907067	19970303
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
CN 1212696	A	19990331	CN 1997-192789	19970303
BR 9708004	A	19990727	BR 1997-8004	19970303
JP 2000506153	T2	20000523	JP 1997-531445	19970303
ZA 9701886	A	19980907	ZA 1997-1886	19970305
US 5977102	A	19991102	US 1997-812002	19970305
NO 9804081	A	19980904	NO 1998-4081	19980904
PRIORITY APPLN. INFO.:			DE 1996-19608653	19960306
			WO 1997-EP1058	19970303

OTHER SOURCE(S): MARPAT 127:278208  
GI



AB Title compds. [I; A2,A8 = H or alkyl; A4 = NRaRb or NRdRe; A6 = Rc or Rg; Ra,Rd = H or alkyl; Rb = (un)substituted Ph; Rc = azetidino, (un)substituted pyrrolidino, -piperidino, etc.; Re = 2-fluorenyl, (un)substituted phenylalkyl, heteroaryl, etc.; Rg = alkyl, (spiro)alkyleneimino, (di)(alkyl)amino, etc.] were prepd. Thus, 5-bromo-2-methylthiopyrimidine-4-carboxylic acid was aminated and the product cyclocondensed with HCONH2 to give I (A2 = A8 = H) (II; A4 = OH, A6 = SMe) which was converted in 4 steps to II (A4 = 5-indolylamino, A6 = morpholino). Data for biochem. activity of I were given.

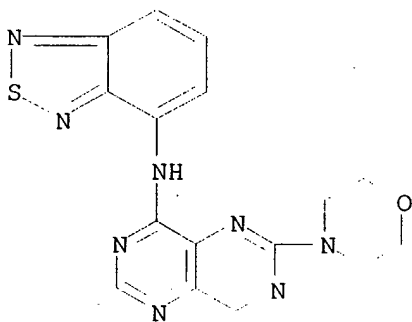
IT 196511-12-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrimido[5,4-d]pyrimidines as tyrosine kinase signal transduction inhibitors)

RN 196511-12-3 CAPLUS

CN Pyrimido[5,4-d]pyrimidin-4-amine, N-2,1,3-benzothiadiazol-4-yl-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L27 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:618910 CAPLUS

DOCUMENT NUMBER: 126:18845

TITLE: Rapid Microscale Synthesis, a New Method for Lead Optimization Using Robotics and Solution Phase Chemistry: Application to the Synthesis and Optimization of Corticotropin Releasing Factor1 Receptor Antagonists

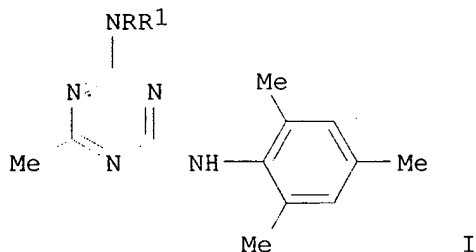
AUTHOR(S): Whitten, Jeffrey P.; Xie, Yun Feng; Erickson, Philip E.; Webb, Thomas R.; Souza, Errol B. De; Grigoriadis, Dimitri E.; McCarthy, James R.

CORPORATE SOURCE: Neurocrine Biosciences, San Diego, CA, 92121, USA

SOURCE: J. Med. Chem. (1996), 39(22), 4354-4357

Searched by Barb O'Bryen, STIC 308-4291

PUBLISHER: CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: American Chemical Society  
 LANGUAGE: Journal  
 GI English



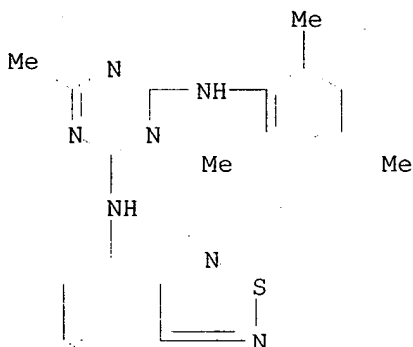
AB Potent ACTH releasing factor1 receptor antagonists, illustrated by I (R = Pr, R1 = cyclopropylmethyl) (Ki = 57 nM), were obtained by synthesizing over 350 analogs of a lead mol. I (R = Me, R1 = phenethyl) (Ki = 2,100 nM) with a new robotics driven soln. phase method called Rapid Microscale Synthesis (RMS). RMS provides a convenient method for the synthesis of from 25 to several hundred analogs of a biol. active mol. in a few days to a few weeks on a modified version of a com. available robot. Reaction conditions were programmed on a windows based program for a desired synthetic sequence. The robot can run several (10 to 25) multistep syntheses in parallel; addn. of reagents, extractive work ups and purity evaluation of products were carried out in series. Multimilligram quantities of products were synthesized, purity evaluated and structures confirmed. Known quantities of products were evaluated for biol. activity. Thus RMS provides a robotics driven soln. phase synthesis method as an alternative to robotics driven solid phase synthesis to prep. analogs of a biol. active mol. and increase biol. activity of new analogs in a relatively short period of time.

IT 184025-02-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. by rapid microscale synthesis using robotic driven soln. phase synthesis)

RN 184025-02-3 CAPLUS

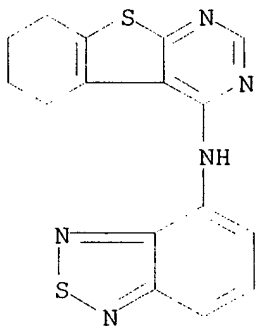
CN 1,3,5-Triazine-2,4-diamine, N-2,1,3-benzothiadiazol-4-yl-6-methyl-N'-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L27 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1997:166792 CAPLUS

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT NUMBER: 126:233130  
TITLE: Search for new antiparasitic agents 17. The new agent G-1697: synthesis and examination of its antiechinococcal activity  
AUTHOR(S): Mikhaillitsyn, F. S.; Kovalenko, F. P.; Kozyreva, N. P.; Dzhabarova, V. I.; Lebedeva, M. N.; Lychko, N. D.; Bulanova, T. Ye.  
CORPORATE SOURCE: Russia  
SOURCE: Med. Parazitol. Parazit. Bolezni (1996), (3), 38-42  
CODEN: MPPBAB; ISSN: 0025-8326  
PUBLISHER: S-Info  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
AB The paper describes the synthesis of the new agent G-1697 which is 4-[(benzo-2,1,3-thiadiazolyl-4)amino]-5,6,7,8-tetrahydrobenzothieno[2,3-d]pyrimidine and the results of testing its acute toxicity and antiparasitic activity on a model of Echinococcus multilocularis invasion at the larval stage in cotton rats. The max. nonlethal dose of G-1697 was 4.0 g/kg for outbred mice of both sexes whose wt. was 14 - 16 g. Adult cotton rats (males) received the agent with their feed in increasing daily doses for 3 wk continuously on days 8 to 28 after infection. The daily dose of its active ingredient varied from 0.03 to 0.35 g/kg and averaged 0.12 g/kg (the mean total dose per session was 2.47 g/kg). The baseline wt. of parasitic larvocysts (PL) per animal averaged 0.28 g at the baseline. In the treated and control rats sacrificed 34 days following infection, the mean mass of PL per animal was 0.95 and 7.51 g, resp. In the cotton rats treated with G-1697, the suppressed growth index calcd. by three parameters (moderate, max., and min. mass of PL in the animals of the comparable groups after treatment with regard to the similar baseline variables) was 90.8, 91.0 and 92.7, resp., vs. the controls. Among all PL found in each animal, its death was approx. 70 - 90% in the treated rats.  
IT 188550-08-5P, G 1697  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(benzothiadiazol G-1697: synthesis and antiechinococcal activity)  
RN 188550-08-5 CAPLUS  
CN [1]Benzothieno[2,3-d]pyrimidin-4-amine, N-2,1,3-benzothiadiazol-4-yl-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

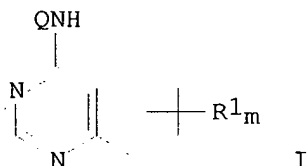


L27 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1995:23238 CAPLUS  
DOCUMENT NUMBER: 122:31545  
TITLE: Preparation of aminoquinazolines useful in the treatment of cancer  
INVENTOR(S): Barker, Andrew John; Brown, Dearg Sutherland  
PATENT ASSIGNEE(S): Zeneca, UK  
SOURCE: Eur. Pat. Appl., 39 pp.



DOCUMENT TYPE: CODEN: EPXXDW  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 1 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 602851	A1	19940622	EP 1993-309680	19931203
EP 602851	B1	19961009		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9350728	A1	19940623	AU 1993-50728	19931116
AU 664496	B2	19951116		
ZA 9308594	A	19940610	ZA 1993-8594	19931117
CA 2103383	AA	19940611	CA 1993-2103383	19931118
IL 107678	A1	19990312	IL 1993-107678	19931119
HU 65622	A2	19940728	HU 1993-3328	19931124
FI 9305431	A	19940611	FI 1993-5431	19931203
AT 143956	E	19961015	AT 1993-309680	19931203
ES 2093367	T3	19961216	ES 1993-309680	19931203
CZ 283612	B6	19980513	CZ 1993-2651	19931206
NO 9304504	A	19940613	NO 1993-4504	19931209
JP 06336481	A2	19941206	JP 1993-309184	19931209
CN 1094043	A	19941026	CN 1993-120872	19931210
US 5580870	A	19961203	US 1993-164725	19931210
PRIORITY APPLN. INFO.:			GB 1992-25765	19921210
			GB 1993-10248	19930518
OTHER SOURCE(S):		MARPAT 122:31545		
GI				

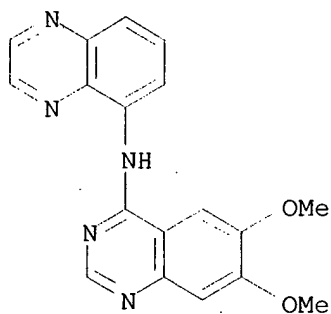


AB The title compds. [I; Q = 9- or 10-membered bicyclic heterocyclic moiety contg. 1-2 N atoms; R1 = OH, NH2, ureido, hydroxyamino, trifluoromethoxy, (un)substituted C1-4 alkyl, C1-4 alkoxy, pyrrolidin-1-yl, piperidino, etc.; m = 1-3], useful in the treatment of cancer (no data), are prepd. and I-contg. formulations presented. Thus, 4-chloro-6,7-dimethoxyquinazoline was reacted with 5-aminoquinoline, producing 6,7-dimethoxy-4-(5-quinolylamino)quinazoline, m.p. > 240.degree., in 35% yield.

IT 159737-60-7P 159737-64-1P 159768-30-6P  
159768-47-5P  
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as anticancer agent)

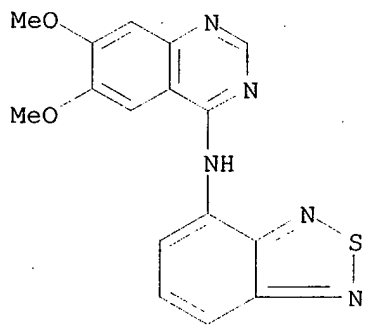
RN 159737-60-7 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-5-quinoxaliny- (9CI) (CA INDEX NAME)



RN 159737-64-1 CAPLUS

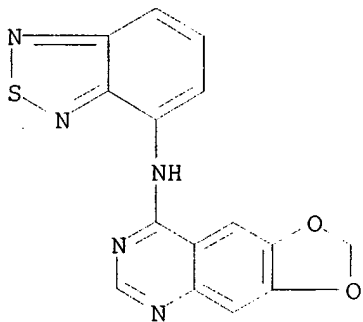
CN 4-Quinazolinamine, N-2,1,3-benzothiadiazol-4-yl-6,7-dimethoxy-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 159768-30-6 CAPLUS

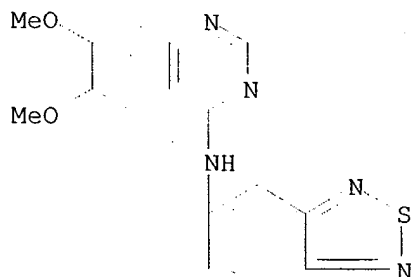
CN 1,3-Dioxolo[4,5-g]quinazolin-8-amine, N-2,1,3-benzothiadiazol-4-yl-,  
monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 159768-47-5 CAPLUS

CN 4-Quinazolinamine, N-2,1,3-benzothiadiazol-5-yl-6,7-dimethoxy-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L27 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1979:503725 CAPLUS

DOCUMENT NUMBER: 91:103725

TITLE: Herbicide containing active substances

INVENTOR(S): Dehne, Heinz; Kemter, Peter; Kochmann, Werner;  
Loettge, Wilhelm; Naumann, Kurt; Wolter, Gerhard

PATENT ASSIGNEE(S): VEB Chemiekombinat Bitterfeld, Ger. Dem. Rep.

SOURCE: Ger. (East), 26 pp.

CODEN: GEXXA8

DOCUMENT TYPE: Patent

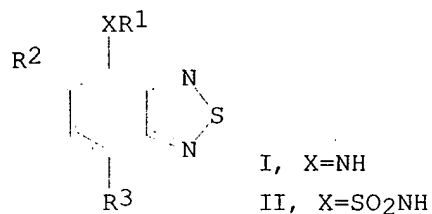
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 134184	Z	19790214	DD 1977-202272	19771128

GI



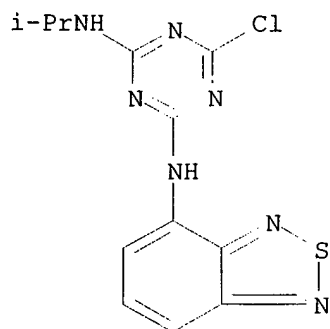
AB The benzothiadiazole derivs. I (R1 = substituted or unsubstituted Ac, Bz, EtCO, carbamoyl, thiocarbamoyl, etc.; R2 and R3 = H, halo, SCN, etc.) and II (R4 = substituted carbamoyl; R2 and R3 = H or halo) are herbicides. Thus, N-methyl-N'-(benzo-2,1,3-thiadiazol-4-yl)urea [71013-89-3], applied postemergence at 2 kg/ha, controlled chess, mustard, and other monocotyledonous and dicotyledonous weeds. The synthesis of I is given.

IT 71140-52-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and herbicidal activity of)

RN 71140-52-8 CAPLUS

CN 1,3,5-Triazine-2,4-diamine, N-2,1,3-benzothiadiazol-4-yl-6-chloro-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)



L27 ANSWER 9 OF 11 USPATFULL

ACCESSION NUMBER: 2000:161014 USPATFULL  
TITLE: Irreversible bicyclic inhibitors of tyrosine kinases  
INVENTOR(S): Bridges, Alexander James, Saline, MI, United States  
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6153617		20001128
	WO 9906396		19990211
APPLICATION INFO.:	US 1999-269647		19990325 (9)
	WO 1998-US15592		19980729
			19990325 PCT 371 date
			19990325 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-54061P	19970729 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Patel, Sudhaker B.	
LEGAL REPRESENTATIVE:	Tinney, Francis J.	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2589	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of Formula I ##STR1## that are irreversible inhibitors of tyrosine kinases. Also provided is a method of treating cancer, restenosis, atherosclerosis, endometriosis, and psoriasis and a pharmaceutical composition that comprises a compound that is an irreversible inhibitor of tyrosine kinases.

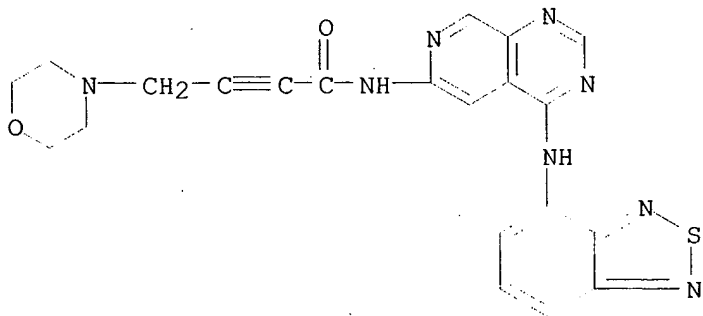
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 220577-61-7P 220577-63-9P

(prepn. of heterocyclic compds. as irreversible bicyclic inhibitors of tyrosine kinases)

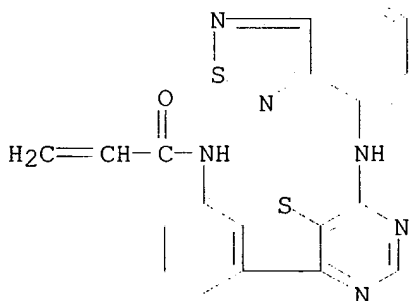
RN 220577-61-7 USPATFULL

CN 2-Butynamide, N-[4-(2,1,3-benzothiadiazol-4-ylamino)pyrido[3,4-d]pyrimidin-6-yl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 220577-63-9 USPATFULL

CN 2-Propenamide, N-[4-(2,1,3-benzothiadiazo[4,5-b]pyrimidin-6-yl)-1H-benzothieno[3,2-d]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)



L27 ANSWER 10 OF 11 USPATFULL

ACCESSION NUMBER: 1999:137251 USPATFULL

TITLE: Pyrimido [5, 4-d] pyrimidines, pharmaceuticals containing these compounds, their use and processes for their preparation

INVENTOR(S): Himmelsbach, Frank, Mittelbiberach, Germany, Federal Republic of  
Dahmann, Georg, Ummendorf, Germany, Federal Republic of  
von Ruden, Thomas, Baden, Austria  
Metz, Thomas, Vienna, Austria

PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Biberach, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5977102		19991102
APPLICATION INFO.:	US 1997-812002		19970305 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19608653	19960306
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Raymond, Richard L.	
LEGAL REPRESENTATIVE:	Raymond, Robert P., Stempel, Alan R., Devlin, Mary-Ellen M.	
NUMBER OF CLAIMS:	13	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3769	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pyrimido[5,4-d]pyrimidines of the general formula ##STR1## which have an inhibitory effect on signal transduction mediated by tyrosine kinases, their use for the treatment of oncoses, and their preparation. Exemplary compounds are:

- (a) 4-(5-indolylamino)-6-morpholinopyrimido[5,4-d]pyrimidine;
- (b) 4-(5-indolylamino)-6-[trans-(4-hydroxycyclohexyl)amino]pyrimido[5,4-d]pyrimidine;
- (c) 4-[(3-chloro-4-fluorophenyl)amino]-6-[4-(morpholinocarbonylmethyl)-1-piperazinyl]pyrimido[5,4-d]pyrimidine;
- (d) 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-morpholinyl)amino]pyrimido[5,4-d]pyrimidine;
- (e) 4-[(3-chloro-4-fluorophenyl)amino]-6-(4-picolylamino)pyrimido[5,4-d]pyrimidine;
- (f) 4-[(3-chloro-4-fluorophenyl)amino]-6-[1-trifluoroacetyl-4-piperidinylamino]pyrimido[5,4-d]pyrimidine;
- (g) 4-[(3-chloro-4-fluorophenyl)amino]-6-(endo-tropinylamino)pyrimido[5,4-d]pyrimidine; and,
- (h) 4-[(3-chloro-4-fluorophenyl)amino]-6-(exo-tropinylamino)pyrimido[5,4-d]pyrimidine.

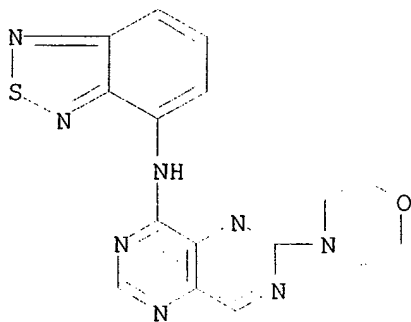
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 196511-12-3P

(prepn. of pyrimido[5,4-d]pyrimidines as tyrosine kinase signal transduction inhibitors)

RN 196511-12-3 USPATFULL

CN Pyrimido[5,4-d]pyrimidin-4-amine, N-2,1,3-benzothiadiazol-4-yl-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L27 ANSWER 11 OF 11 USPATFULL

ACCESSION NUMBER: 96:111459 USPATFULL

TITLE: Quinazoline derivatives

INVENTOR(S): Barker, Andrew J., Macclesfield, United Kingdom  
Brown, Dearg S., Wilmslow, United Kingdom

PATENT ASSIGNEE(S): Zeneca Limited, London, United Kingdom (non-U.S. corporation)

NUMBER KIND DATE

Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION: US 5580870 19961203  
APPLICATION INFO.: US 1993-164725 19931210 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1992-25765	19921210
	GB 1993-10248	19930518
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Grumblin, Matthew V.	
LEGAL REPRESENTATIVE:	Cushman Darby & Cushman, L.L.P.	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2124	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns quinazoline derivatives of the formula I ##STR1## wherein m is 1, 2 or 3 and each R<sup>sup.1</sup> includes hydroxy, amino, ureido, hydroxyamino, trifluoromethoxy, (1-4C)alkyl, (1-4C)alkoxy and (1-3C)alkylenedioxy; and Q is a 9- or 10-membered bicyclic heterocyclic moiety containing one or two nitrogen heteroatoms and optionally containing a further heteroatom selected from nitrogen, oxygen and sulphur, or Q is a 9- or 10-membered bicyclic aryl moiety which heterocyclic or aryl moiety may optionally bear one or two substituents selected from halogeno, hydroxy, oxo, amino, nitro, carbamoyl, (1-4C)alkyl, (1-4C)alkoxy, (1-4C)alkylamino, di-[(1-4C)alkyl]amino and (2-4C)alkanoylamino; or a pharmaceutically-acceptable salt thereof; processes for their preparation; pharmaceutical compositions containing them; and the use of the receptor tyrosine kinase inhibitory properties of the compounds in the treatment of cancer.

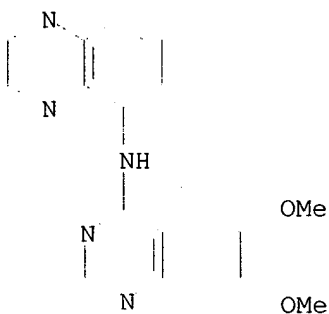
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 159737-60-7P 159737-64-1P 159768-30-6P  
159768-47-5P

(prepn. of, as anticancer agent)

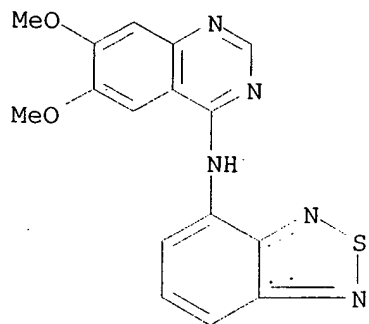
RN 159737-60-7 USPATFULL

CN 4-Quinazolinamine, 6,7-dimethoxy-N-5-quinoxaliny- (9CI) (CA INDEX NAME)



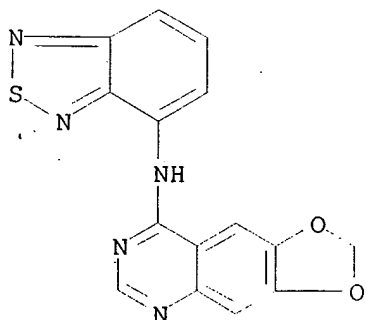
RN 159737-64-1 USPATFULL

CN 4-Quinazolinamine, N-2,1,3-benzothiadiazol-4-yl-6,7-dimethoxy-,  
monohydrochloride (9CI) (CA INDEX NAME)



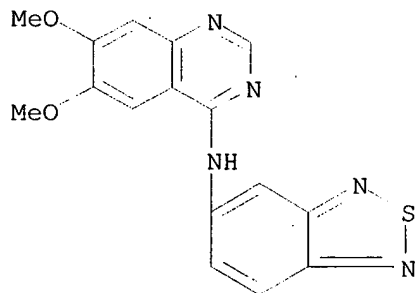
● HCl

RN 159768-30-6 USPATFULL

CN 1,3-Dioxolo[4,5-g]quinazolin-8-amine, N-2,1,3-benzothiadiazol-4-yl-,  
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 159768-47-5 USPATFULL

CN 4-Quinazolinamine, N-2,1,3-benzothiadiazol-5-yl-6,7-dimethoxy-,  
monohydrochloride (9CI) (CA INDEX NAME)

HCl



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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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C2N3-C6/EA OR C4N2-C6/EA) AND NR>2  
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